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NEWS 1			Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 02		STN pricing information for 2008 now available
NEWS 3	JAN 16		CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 4	JAN 28		USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 5	JAN 28		MARPAT searching enhanced
NEWS 6	JAN 28		USGENE now provides USPTO sequence data within 3 days of publication
NEWS 7	JAN 28		TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8	JAN 28		MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9	FEB 08		STN Express, Version 8.3, now available
NEWS 10	FEB 20		PCI now available as a replacement to DPCI
NEWS 11	FEB 25		IFIREF reloaded with enhancements
NEWS 12	FEB 25		IMSPRODUCT reloaded with enhancements
NEWS 13	FEB 29		WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 14	MAR 31		IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15	MAR 31		CAS REGISTRY enhanced with additional experimental spectra
NEWS 16	MAR 31		CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 17	MAR 31		LPCI now available as a replacement to LDPCI
NEWS 18	MAR 31		EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19	APR 04		STN AnaVist, Version 1, to be discontinued
NEWS 20	APR 15		WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21	APR 28		EMBASE Controlled Term thesaurus enhanced
NEWS 22	APR 28		IMSRESEARCH reloaded with enhancements
NEWS 23	MAY 30		INPAFAMDB now available on STN for patent family searching
NEWS 24	MAY 30		DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 25	JUN 06		EPFULL enhanced with 260,000 English abstracts
NEWS 26	JUN 06		KOREAPAT updated with 41,000 documents
NEWS 27	JUN 13		USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 28	JUN 19		CAS REGISTRY includes selected substances from web-based collections
NEWS 29	JUN 25		CA/CAplus and USPAT databases updated with IPC reclassification data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 16:16:16 ON 27 JUN 2008

=> FILE REG  
 COST IN U.S. DOLLARS  
 FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 16:16:25 ON 27 JUN 2008  
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STRUCTURE FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0  
DICTIONARY FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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=> Uploading C:\Program Files\STNEXP\Queries\10588862 species.str
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L1 STRUCTURE UPLOADED

=> D L1  
L1 HAS NO ANSWERS  
L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> S L1 FAM FULL  
FULL SEARCH INITIATED 16:17:50 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

L2 0 SEA FAM FUL L1

=> S L1 SSS FULL  
FULL SEARCH INITIATED 16:18:04 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 161 TO ITERATE

100.0% PROCESSED 161 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> FILE CAPLUS  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
249.85 250.06

FILE 'CAPLUS' ENTERED AT 16:19:23 ON 27 JUN 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 27 Jun 2008 VOL 149 ISS 1  
FILE LAST UPDATED: 26 Jun 2008 (20080626/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> S L3  
L4 0 L3

=> E GRIEBENOW NILS/IN  
E1 1 GRIEBENOW L JOY/IN  
E2 1 GRIEBENOW MARTIN/IN  
E3 9 --> GRIEBENOW NILS/IN  
E4 16 GRIEBENOW SIEGFRIED/IN  
E5 2 GRIEBENOW YOLANDA/IN  
E6 7 GRIEBL ERICH/IN

E7 2 GRIEBL HANS JURGEN/IN  
E8 1 GRIEBL MATTHIAS/IN  
E9 1 GRIEBLER GERHARD/IN  
E10 13 GRIEBLER WOLF DIETER/IN  
E11 1 GRIEBLER WOLFDIETER/IN  
E12 1 GRIEBLING GERHARD/IN

=> S E3  
L5 9 "GRIEBENOW NILS"/IN

=> E FLESSNER TIMO/IN  
E1 3 FLESSNER GERM/IN  
E2 2 FLESSNER STEPHEN M/IN  
E3 12 --> FLESSNER TIMO/IN  
E4 11 FLESSNER UWE/IN  
E5 7 FLESZAR BOLESLAW/IN  
E6 1 FLETA GARCIA FERNANDO/IN  
E7 1 FLETCHER/IN  
E8 3 FLETCHER A F/IN  
E9 1 FLETCHER A L/IN  
E10 1 FLETCHER A T/IN  
E11 1 FLETCHER AARON/IN  
E12 24 FLETCHER AARON N/IN

=> S E3  
L6 12 "FLESSNER TIMO"/IN

=> E HARTER MICHAEL/IN  
E1 1 HARTER MARK A/IN  
E2 1 HARTER MATTHIAS/IN  
E3 5 --> HARTER MICHAEL/IN  
E4 1 HARTER MICHAEL D/IN  
E5 1 HARTER MIRIAM/IN  
E6 1 HARTER MIRIAM K/IN  
E7 2 HARTER NOAH S/IN  
E8 1 HARTER RUSSELL W/IN  
E9 2 HARTER SABRINA/IN  
E10 1 HARTER STEVEN P/IN  
E11 1 HARTER TODRICK G/IN  
E12 1 HARTER WALTER E/IN

=> S E3 OR E4  
5 "HARTER MICHAEL"/IN  
1 "HARTER MICHAEL D"/IN  
L7 6 "HARTER MICHAEL"/IN OR "HARTER MICHAEL D"/IN

=> E RAABE MARTIN/IN  
E1 1 RAABE MAGNUS/IN  
E2 2 RAABE MAREN/IN  
E3 15 --> RAABE MARTIN/IN  
E4 1 RAABE ORVILLE R JR/IN  
E5 1 RAABE OTTO G/IN  
E6 1 RAABE P RODER BRUNO/IN  
E7 2 RAABE PETER/IN  
E8 1 RAABE RALF/IN  
E9 1 RAABE SIEGFRIED/IN  
E10 16 RAABE THOMAS/IN  
E11 2 RAABE THORSTEN/IN  
E12 1 RAABE UDO/IN

=> S E3

L8 15 "RAABE MARTIN"/IN

=> E BUCHMULLER ANJA/IN

E1 1 BUCHMUELLER WILHELM/IN  
E2 1 BUCHMUELLER ANJA/IN  
E3 0 --> BUCHMULLER ANJA/IN  
E4 1 BUCHMULLER JURGEN/IN  
E5 1 BUCHMULLER WALTER/IN  
E6 1 BUCHNAM JAMES H/IN  
E7 1 BUCHNAN G H/IN  
E8 1 BUCHNAYA TATYANA A/IN  
E9 1 BUCHNEA ALEXANDER/IN  
E10 4 BUCHNER ALFRED/IN  
E11 1 BUCHNER ALFRED A/IN  
E12 5 BUCHNER ANTON/IN

=> S E2

L9 1 "BUCHLOL DACTYLOIDES"/CT

=> E BUCHMUELLER ANJA/IN

E1 1 BUCHMET MIROSLAV/IN  
E2 1 BUCHMILLER LYLE D/IN  
E3 6 --> BUCHMUELLER ANJA/IN  
E4 1 BUCHMUELLER H/IN  
E5 1 BUCHMUELLER HEINRICH/IN  
E6 1 BUCHMUELLER HEINZ PETER/IN  
E7 1 BUCHMUELLER HORST/IN  
E8 1 BUCHMUELLER HORST DIPL ING/IN  
E9 1 BUCHMUELLER J/IN  
E10 5 BUCHMUELLER JUERGEN/IN  
E11 1 BUCHMUELLER KLAUS/IN  
E12 1 BUCHMUELLER MARIANNE/IN

=> S E3

L10 6 "BUCHMUELLER ANJA"/IN

=> E BISCHOFF HILMAR/IN

E1 9 BISCHOFF HANS/IN  
E2 3 BISCHOFF HENRI FERNANDO/IN  
E3 113 --> BISCHOFF HILMAR/IN  
E4 1 BISCHOFF HILMER/IN  
E5 1 BISCHOFF HIMLAR/IN  
E6 1 BISCHOFF HUGO/IN  
E7 4 BISCHOFF JAMES R/IN  
E8 5 BISCHOFF JOACHIM/IN  
E9 8 BISCHOFF JOERG/IN  
E10 2 BISCHOFF JOHANN J/IN  
E11 3 BISCHOFF JOHANNA M H K/IN  
E12 5 BISCHOFF JOHANNES/IN

=> S E3 OR E4 OR E5

113 "BISCHOFF HILMAR"/IN  
1 "BISCHOFF HILMER"/IN  
1 "BISCHOFF HIMLAR"/IN

L11 115 "BISCHOFF HILMAR"/IN OR "BISCHOFF HILMER"/IN OR "BISCHOFF HIMLAR"/IN

=> E ELLINGHAUS PETER/IN

E1 1 ELLINGHAUS FRITZ/IN  
E2 1 ELLINGHAUS O/IN  
E3 20 --> ELLINGHAUS PETER/IN

E4 1 ELLINGHAUS WOLFGANG/IN  
E5 1 ELLINGHAUS WOLFGANG DIPL ING/IN  
E6 8 ELLINGHORST GUIDO/IN  
E7 1 ELLINGHOUSE R J/IN  
E8 3 ELLINGHOVEN RAYMOND/IN  
E9 1 ELLINGHUYSEN JERRY A/IN  
E10 1 ELLINGSEN ALMAR/IN  
E11 1 ELLINGSEN BJAERTE SOEREBOE/IN  
E12 8 ELLINGSEN JAN EIRIK/IN

=> S E3  
L12 20 "ELLINGHAUS PETER"/IN

=> E KOLKHOF PETER/IN  
E1 18 KOLKHIR V K/IN  
E2 2 KOLKHIR VLADIMIR K/IN  
E3 27 --> KOLKHOF PETER/IN  
E4 1 KOLKIN E N/IN  
E5 1 KOLKIN JON/IN  
E6 1 KOLKIN M M/IN  
E7 1 KOLKIN S V/IN  
E8 2 KOLKIN T/IN  
E9 2 KOLKINA N I/IN  
E10 1 KOLKIND KURT/IN  
E11 1 KOLKMAN ARJAN/IN  
E12 2 KOLKMAN JOOST/IN

=> S E3  
L13 27 "KOLKHOF PETER"/IN

=> S L5 OR L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13  
L14 189 L5 OR L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13

=> S CARDIOVASCULAR  
113652 CARDIOVASCULAR  
4 CARDIOVASCULARS  
L15 113655 CARDIOVASCULAR  
(CARDIOVASCULAR OR CARDIOVASCULARS)

=> S L15 AND L14  
L16 78 L15 AND L14

=> S L5 AND L6 AND L7  
L17 0 L5 AND L6 AND L7

=> S L8 AND L11  
L18 5 L8 AND L11

=> S "TETRAHYDROBENZO[D]AZEPIN-2-ONE"  
2145 "TETRAHYDROBENZO"  
2603193 "D"  
4539 "AZEPIN"  
7 "AZEPINS"  
4545 "AZEPIN"  
("AZEPIN" OR "AZEPINS")  
9648641 "2"  
2596044 "ONE"  
192787 "ONES"  
2748042 "ONE"  
("ONE" OR "ONES")  
L19 5 "TETRAHYDROBENZO[D]AZEPIN-2-ONE"

("TETRAHYDROBENZO" (W) "D" (W) "AZEPIN" (W) "2" (W) "ONE")

=> S L19 AND L14  
L20 0 L19 AND L14

=> D L19 1-5 IBIB ABS

L19 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2008:529900 CAPLUS  
DOCUMENT NUMBER: 148:538288  
TITLE: Preparation of fused bicyclic derivatives of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors  
INVENTOR(S): Ahmed, Gulzar; Bohnstedt, Adolph; Breslin, Henry Joseph; Burke, Jason; Curry, Matthew A.; Diebold, James L.; Dorsey, Bruce; Dugan, Benjamin J.; Feng, Daming; Gingrich, Diane E.; Guo, Tao; Ho, Koc-Kan; Learn, Keith S.; Lisko, Joseph G.; Liu, Rong-Qiang; Mesaros, Eugen F.; Milkiewicz, Karen; Ott, Gregory R.; Parrish, Jonathan; Theroff, Jay P.; Thieu, Tho V.; Tripathy, Rabindranath; Underiner, Theodore L.; Wagner, Jason C.; Weinberg, Linda; Wells, Gregory J.; You, Ming; Zificksak, Craig A.  
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Pharmacopeia Drug Discovery, Inc.  
SOURCE: PCT Int. Appl., 1297pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051547	A1	20080502	WO 2007-US22496	20071023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-853562P P 20061023

OTHER SOURCE(S): MARPAT 148:538288

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

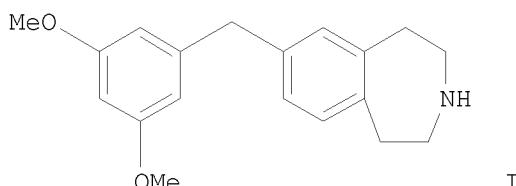
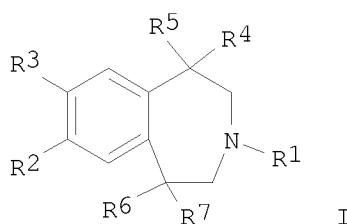
AB Title compds. I and II [R1 = H, halo, NO<sub>2</sub>, OH and derivs., aryl, alkyl, etc.; R2 = (un)substituted alk(en/yn)yl, (hetero)aryl, R3-R5 = independently H, CO<sub>2</sub>H and derivs., NH<sub>2</sub> and derivs., OCHF<sub>2</sub>, etc.; A1-A5 = independently (CH<sub>2</sub>)<sub>1-2</sub> and derivs., CO, NH and derivs., S, SO, SO<sub>2</sub>, O, with provisos; with the exception of specified compds.; and their pharmaceutically acceptable salts] were prepared as ALK and c-Met kinase

inhibitors for treating proliferative disorders. Thus, nitration of 1,3,4,5-tetrahydrobenzo[b]azepin-2-one with HNO<sub>3</sub>/H<sub>2</sub>SO<sub>4</sub>, alkylation with Me iodide, reduction of the nitro intermediate and amination of 2-[(2,5-dichloropyrimidin-4-yl)amino]-N-methylbenzamide gave benzazepinylaminopyrimidine III. III inhibited ALK and C-Met kinases with IC<sub>50</sub> < 0.1  $\mu$ M.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:1447634 CAPLUS  
 DOCUMENT NUMBER: 148:54912  
 TITLE: Preparation of aryl and heteroaryl tetrahydrobenzazepine derivatives as 5-HT agonists useful for treating glaucoma  
 INVENTOR(S): Mohapatra, Suchismita; Hellberg, Mark R.; Feng, Zixia  
 PATENT ASSIGNEE(S): Alcon Manufacturing Ltd., USA  
 SOURCE: U.S. Pat. Appl. Publ., 13pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070293475	A1	20071220	US 2007-761493	20070612
WO 2007149728	A2	20071227	WO 2007-US70931	20070612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2006-814971P	P 20060620
OTHER SOURCE(S):	MARPAT 148:54912			
GI				



AB Aryl tetrahydrobenzazepine derivs. of general formula I (wherein R1 = H or C1-4 alkyl; R2 = H, OH, or alkoxy; R3 = -X-Ar, -OR8, etc.; R4, R5, R6, R7 = H or C1-2 alkyl; R8 = H or C1-4 alkyl; X=O, -C(R9)(R10)-, etc.; Ar= (un)substituted Ph or pyridyl; R9, R10 = H or C1-4 alkyl) with minimal 5-HT2B activity relative to 5-HT2A and 5-HT2C activity that are useful for treating glaucoma are disclosed. Example compound II was prepared by reacting 7-chloro-1,2,4,5-tetrahydro-benzo[d]azepine-3-carboxylic acid tert-Bu ester with 3,5-dimethoxybenzyl zinc chloride. In an assay involving functional response of 5-HT2 receptor subtypes, II had EC50 values of 16.9, >10,000, and 20 nm, in activating the 5-HT2A, 5-HT2B, and 5-HT2C receptors, resp.

L19 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:1271397 CAPLUS  
DOCUMENT NUMBER: 144:192090  
TITLE: Classical and dynamic resolution of 1-amino-3-methyl-1,3,4,5-tetrahydrobenzo[d]azepin-2-one  
AUTHOR(S): Mitchell, David; Hay, Lynne A.; Koenig, Thomas M.; McDaniel, Stacey; Nissen, Jeffrey S.; Audia, James E.  
CORPORATE SOURCE: Chemical Product R&D, Lilly Research Laboratories, Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA  
SOURCE: Tetrahedron: Asymmetry (2005), 16(23), 3814-3819  
CODEN: TASYE3; ISSN: 0957-4166  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 144:192090  
AB Two efficient production processes of enantioenriched 1-amino-3-methyl-1,3,4,5-tetrahydro-benzo[d]azepin-2-one were achieved using the readily available starting materials. A key step in the methodologies is a classical resolution or a dynamic resolution that provides excellent chemical (>80%) yields and enantiomeric excesses (>99.8% ee). The classical resolution was developed on a preparative scale while the dynamic resolution was implemented on a pilot plant scale.  
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

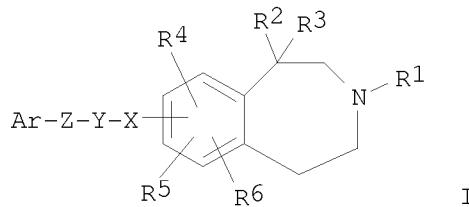
L19 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:409483 CAPLUS  
DOCUMENT NUMBER: 142:463622  
TITLE: Preparation of benzazepine derivatives and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity  
INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey; Estrada, Scott  
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 80 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005042491	A1	20050512	WO 2004-US34917	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

US 20080009478 A1 20080110 US 2007-576849 20070409  
 PRIORITY APPLN. INFO.: US 2003-513865P P 20031022  
 WO 2004-US34917 W 20041021

OTHER SOURCE(S): CASREACT 142:463622; MARPAT 142:463622  
 GI



AB The present invention relates to substituted-2,3,4,5-tetrahydro-3-benzazepine derivs. (shown as I; variables defined below; e.g. (S)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (II) and 8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (III)) that are modulators of the 5-HT2C receptor. Accordingly, compds. of the present invention are useful for the prophylaxis or treatment of 5-HT2C receptor associated diseases, conditions or disorders, such as, obesity and related disorders. For I: X is O, S, SO, SO2, CO, COO, NR7, CONR7, SONR7, SO2NR7, NR7CONR7 or is absent; Y is C1-C10 alkenyl or is absent, wherein Y is (un)substituted by halo, C1-C4 alkyl, C1-C4 alkoxy, C1-C4 haloalkyl, C1-C4 haloalkoxy, hydroxy, carboxy, amino, alkylamino, or dialkylamino; Z is O, S, SO, SO2 or absent; R1 is H, C1-C8 alkyl, C3-C7 cycloalkyl, or C1-C8 haloalkyl; R2 is C1-C8 alkyl or C1-C8 haloalkyl; R3 is H, C1-C8 alkyl, or C1-C8 haloalkyl; or R2 and R3 together with the C atom to which they are attached form a C3-C7 cycloalkyl. R4, R5, and R6 = H, halo, C1-C8 alkyl, C1-C8 haloalkyl, C2-C8 alkenyl, C2-C8 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, mercapto, C1-C8 alkoxy, C1-C8 thioalkoxy, C1-C8 haloalkoxy, aryloxy, cycloalkyloxy, heteroaryloxy, heterocycloalkyloxy, cyano, nitro, NR8R9, NR8COR10, COR10, COOR11, or CONR8R9; R7 is H, C1-C4 alkyl, or C1-C4 haloalkyl; R8 and R9 = H, C1-C4 alkyl, C1-C4 haloalkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R8 and R9 together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl. R10 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R11 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl. Ar is aryl or heteroaryl, each (un)substituted by  $\geq 1$  halo, cyano, nitro, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, C1-C6 alkoxy, C1-C6 haloalkoxy, C3-C7 cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C1-C6 thioalkoxy, C3-C7 thiocycloalkyloxy,

thioaryloxy, thioheteroaryloxy, C1-C4 alkylsulfinyl, C1-C4 alkylsulfonyl, C1-C4 haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, COR12, COOR13, NR14R15, NR14COR12, NR14CONR14R15, or CONR14R15. Or Ar together with Y and Z form a benzo-fused cycloalkyl or benzo-fused heterocycloalkyl group, each (un)substituted by  $\geq 1$  halo, cyano, nitro, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, C1-C6 alkoxy, C1-C6 haloalkoxy, C3-C7 cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C1-C6 thioalkoxy, C3-C7 thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C1-C4 alkylsulfinyl, C1-C4 alkylsulfonyl, C1-C4 haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, COR12, COOR13, NR14R15, NR14COR12, NR14CONR14R15, or CONR14R15. R12 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R3 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; and R14 and R15 = H, C1-C4 alkyl, C1-C4 haloalkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R14 and R15 together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl group; provisos are given in the claims. Although the methods of preparation are not claimed, 39 example preps. are included. For example, II was prepared in 3 steps starting from (S)-N-(trifluoroacetyl)-8-chloro-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine and involving intermediates (S)-N-(Trifluoroacetyl)-8-chloro-7-iodo-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine and (S)-N-(Trifluoroacetyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine. 5-HT2C IC50 values are reported for II and III as 30 and 7 nM, resp., from an intracellular IP3 accumulation assay.

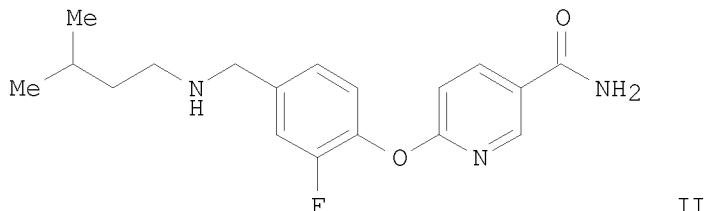
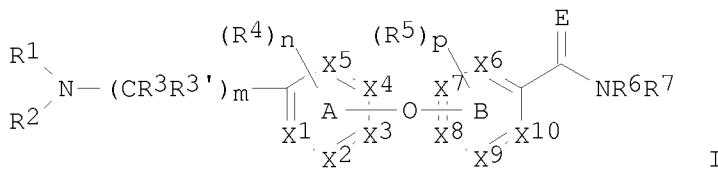
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:267241 CAPLUS  
 DOCUMENT NUMBER: 140:303538  
 TITLE: Preparation of [(aminoalkyl)aryl]oxy]nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions  
 INVENTOR(S): Blanco-Pillado, Maria-Jesus; Chappell, Mark Donald; Garcia De la Torre, Marta; Diaz Buezo, Nuria; Fritz, James Erwin; Holloway, William Glen; Matt, James Edward, Jr.; Mitch, Charles Howard; Pedregal-Tercero, Concepcion; Quimby, Steven James; Siegel, Miles Goodman; Smith, Dana Rae; Stucky, Russell Dean; Takeuchi, Kumiko; Thomas, Elizabeth Marie; Wolfe, Chad Nolan  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 559 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026305	A1	20040401	WO 2003-US26300	20030917
WO 2004026305	A9	20040513		
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TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
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 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
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 CA 2499690 A1 20040401 CA 2003-2499690 20030917  
 AU 2003269980 A1 20040408 AU 2003-269980 20030917  
 BR 2003014308 A 20050705 BR 2003-14308 20030917  
 EP 1562595 A1 20050817 EP 2003-751877 20030917  
 EP 1562595 B1 20080521  
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 CN 1681498 A 20051012 CN 2003-822241 20030917  
 JP 2006511474 T 20060406 JP 2004-537682 20030917  
 NZ 538459 A 20080430 NZ 2003-538459 20030917  
 TW 287012 B 20070921 TW 2003-92125729 20030918  
 US 20060217372 A1 20060928 US 2005-526960 20050303  
 US 7381719 B2 20080603  
 MX 2005PA03093 A 20050713 MX 2005-PA3093 20050318  
 IN 2005KN00457 A 20060303 IN 2005-KN457 20050318  
 NO 2005001871 A 20050418 NO 2005-1871 20050418  
 PRIORITY APPLN. INFO.: US 2002-412158P P 20020919  
 WO 2003-US26300 W 20030917

OTHER SOURCE(S): MARPAT 140:303538  
 GI



AB Title diaryl ethers I [wherein X1-X10 = independently C, CH, or N;  
 provided that each of rings A or B has no more than 2 N atoms; E = O or  
 NH; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl,  
 alkynyl, (alkyl)aryl, (aryl)heterocyclyl, (cyclo)alkylheterocyclyl,  
 (cyclo)alkanoylalkyl, aroylalkyl, aryloxyalkyl, benzhydryl,  
 bicyclyl(alkyl), benzoyl(alkyl), alkoxyalkyl, alkoxycarbonyl,  
 (aryl)alkylsulfonyl, heterocyclylalkylsulfonyl, cycloalkylalkyl,  
 carboxyalkyl, carbamoylalkyl, etc.; R3 and R3' = independently H, alkyl,  
 alkenyl, alkynyl, (alkyl)aryl, or alkylcycloalkyl; R4 and R5 =  
 independently H, (halo)alkyl, alkenyl, alkynyl, alkoxy(halo)alkyl,  
 thioalkyl, halo, aryl(alkyl), alkanoyl, alkoxycarbonyl, aminoalkyl,  
 cycloalkylalkyl, etc.; R6 and R7 = independently H, (cyclo)alkyl, alkenyl,  
 alkynyl, alkanoyl, OH, alkoxy, (aryl)alkylsulfonyl,

heterocyclalkylsulfonyl, aryl(alkyl), carbamoyl(alkyl), etc.; m = 1-3; n = 0-3; p = 0-3; or pharmaceutically acceptable salts, solvates, enantiomers, racemates, diastereomers, or mixts. thereof] were prepared as  $\mu$ -,  $\kappa$ -, and  $\delta$ -opioid receptor antagonists. For example, reductive amination of 6-(2-fluoro-4-formylphenoxy)nicotinamide and 3-methylbutylamine provided II (99%). The latter inhibited ex vivo binding of [3H]-diprenorphine in rat striatum/nucleus accumbens by >65% at a concentration of 7 mg/kg. In an acute feeding rat obesity assay, II suppressed

opioid receptors at a dose of 0.3  $\mu$ g/kg. In addition, diet-induced obese rats achieved an energy balance (caloric intake minus utilization) of -81 kcal/kg/day upon administration of 0.3 mg/kg p.o. of II in an indirect calorimetry assay. Thus, I and their pharmaceutical compns. are useful for the treatment, prevention, or amelioration of obesity and related diseases.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE  
COST IN U.S. DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	60.39	310.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  
CA SUBSCRIBER PRICE

	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	-4.00	-4.00

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=>  
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COST IN U.S. DOLLARS

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CA SUBSCRIBER PRICE

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CA SUBSCRIBER PRICE	0.00	-4.00

FILE 'REGISTRY' ENTERED AT 16:49:28 ON 27 JUN 2008  
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STRUCTURE FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0  
DICTIONARY FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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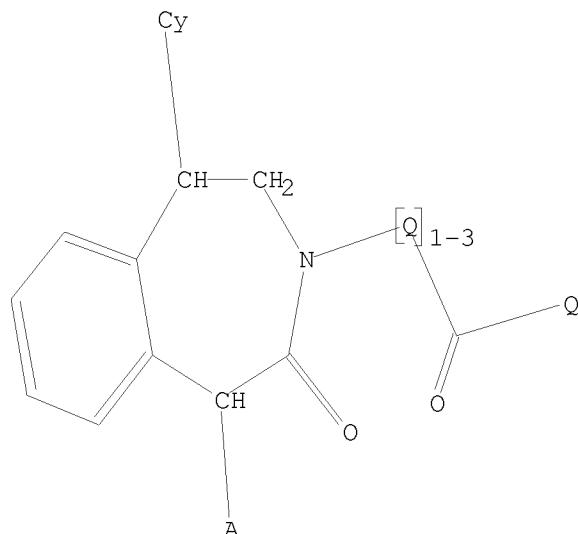
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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L21 STRUCTURE UPLOADED

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L21 STR



Structure attributes must be viewed using STN Express query preparation.

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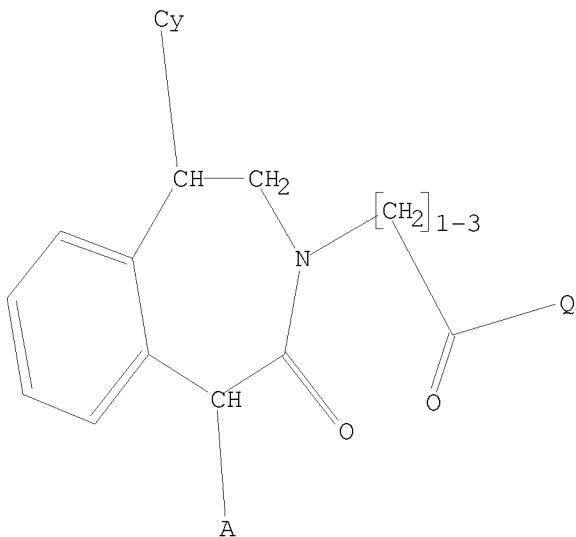
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Structure attributes must be viewed using STN Express query preparation.

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L24 10 SEA SSS FUL L23

=> FILE CAPLUS			
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CA SUBSCRIBER PRICE	0.00	-4.00	

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FILE COVERS 1907 - 27 Jun 2008 VOL 149 ISS 1  
 FILE LAST UPDATED: 26 Jun 2008 (20080626/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

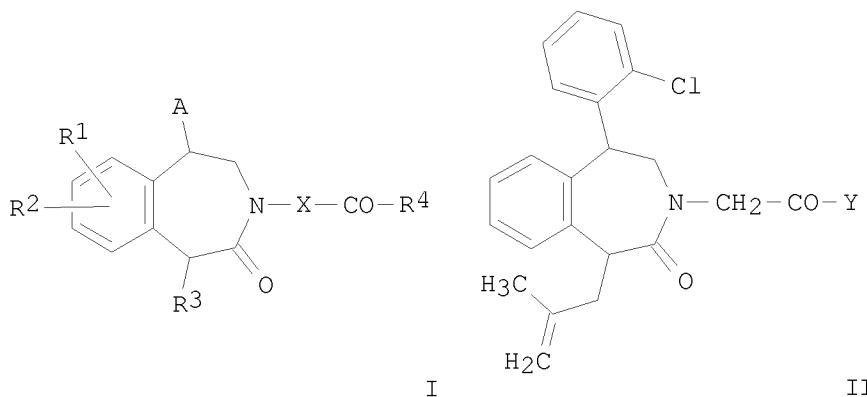
<http://www.cas.org/legal/infopolicy.html>

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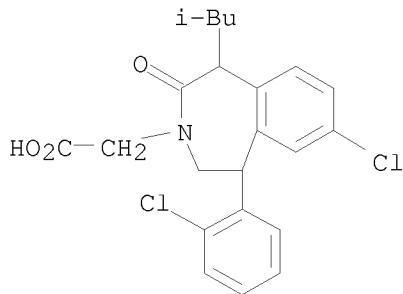
L25 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:901857 CAPLUS  
DOCUMENT NUMBER: 143:248302  
TITLE: Preparation of tetrahydro-2H-3-benzazepin-2-ones for the treatment of cardiovascular diseases  
INVENTOR(S): Griebenow, Nils; Flessner, Timo; Raabe, Martin; Bischoff, Hilmar  
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany  
SOURCE: Ger. Offen., 49 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004006325	A1	20050825	DE 2004-102004006325	20040210
CA 2554996	A1	20050825	CA 2005-2554996	20050201
WO 2005077907	A1	20050825	WO 2005-EP960	20050201
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1716118	A1	20061102	EP 2005-701287	20050201
EP 1716118	B1	20080430		
R: DE, ES, FR, GB, IT				
JP 2007522159	T	20070809	JP 2006-552501	20050201
US 20070287698	A1	20071213	US 2007-588862	20070619
PRIORITY APPLN. INFO.:			DE 2004-102004006325A	20040210
			WO 2005-EP960	W 20050201
OTHER SOURCE(S):	MARPAT	143:248302		
GI				

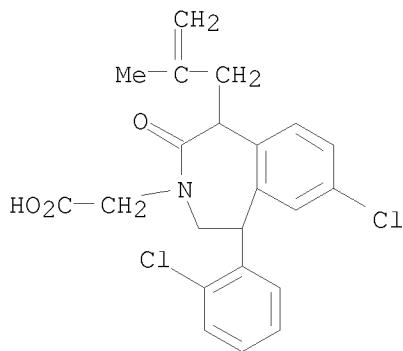


AB Title compds. I [X = (CH<sub>2</sub>)<sub>n</sub>; n = 1-3; A = aryl, 5 to 10-membered heteroaryl, etc.; R<sub>1</sub>, R<sub>2</sub> = H, halo, CN, etc.; R<sub>3</sub> = alkyl, alkenyl, alkynyl, etc.; R<sub>4</sub> = OR<sub>7</sub>, NR<sub>8</sub>R<sub>9</sub>; R<sub>7</sub> = H, alkyl; R<sub>8</sub>, R<sub>9</sub> = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, saponification of Et ester II (Y = OEt) afforded carboxylic acid II (Y = OH) in 54% yield. In squalene synthase inhibition assays, compds. I exhibited IC<sub>50</sub> values < 20  $\mu$ M. Compds. I are claimed to be useful for the treatment of cardiovascular diseases.

IT 863252-98-6P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of tetrahydrobenzazepinones for the treatment of cardiovascular diseases)  
RN 863252-98-6 CAPLUS  
CN 3H-3-Benzazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo- (CA INDEX NAME)

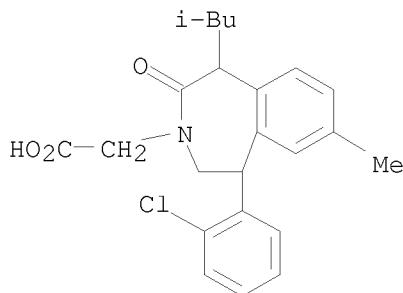


IT 863252-97-5P 863253-01-4P 863253-02-5P  
 863253-09-2P 863253-10-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
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 (Uses)  
 (preparation of tetrahydrobenzazepinones for the treatment of cardiovascular  
 diseases)  
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 CN 3H-3-Benzazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-1,2,4,5-  
 tetrahydro-1-(2-methyl-2-propen-1-yl)-2-oxo- (CA INDEX NAME)



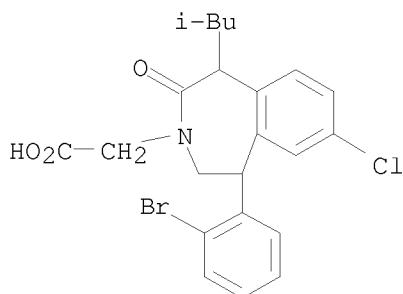
RN 863253-01-4 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 5-(2-chlorophenyl)-1,2,4,5-tetrahydro-7-methyl-1-(2-methylpropyl)-2-oxo- (CA INDEX NAME)



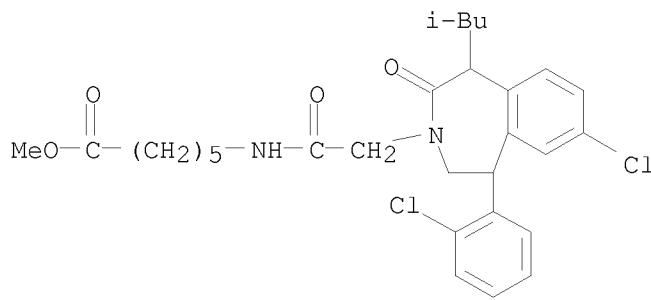
RN 863253-02-5 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 5-(2-bromophenyl)-7-chloro-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo- (CA INDEX NAME)



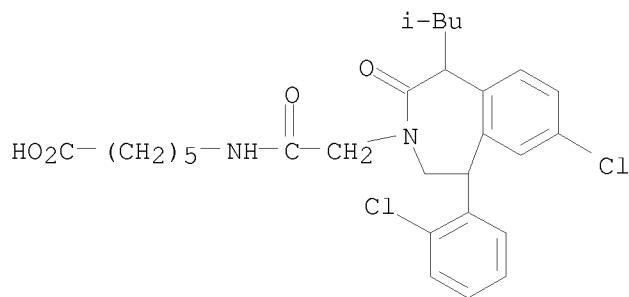
RN 863253-09-2 CAPLUS

CN Hexanoic acid, 6-[2-[7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo-3H-3-benzazepin-3-yl]acetyl]amino]-, methyl ester (CA INDEX NAME)



RN 863253-10-5 CAPLUS

CN Hexanoic acid, 6-[2-[7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo-3H-3-benzazepin-3-yl]acetyl]amino]- (CA INDEX NAME)



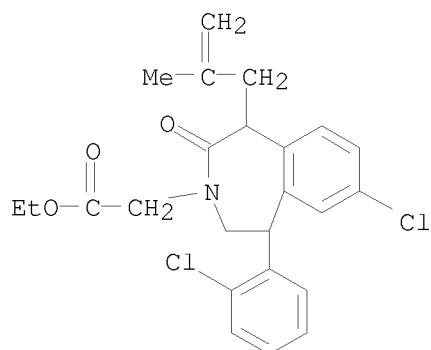
IT 863253-14-9P 863253-15-0P 863253-22-9P

863253-23-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of tetrahydrobenzazepinones for the treatment of cardiovascular diseases)

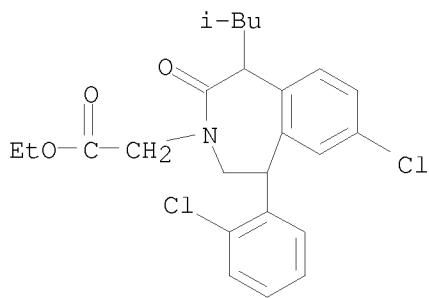
RN 863253-14-9 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methyl-2-propen-1-yl)-2-oxo-, ethyl ester (CA INDEX NAME)



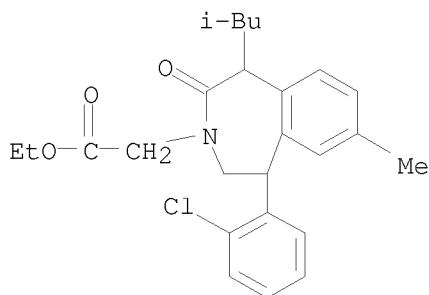
RN 863253-15-0 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo-, ethyl ester (CA INDEX NAME)



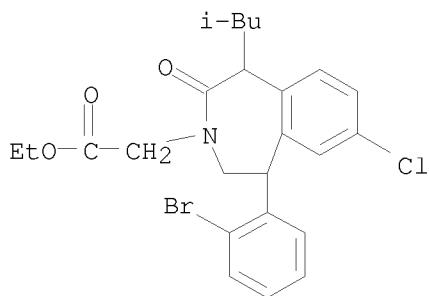
RN 863253-22-9 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 5-(2-chlorophenyl)-1,2,4,5-tetrahydro-7-methyl-1-(2-methylpropyl)-2-oxo-, ethyl ester (CA INDEX NAME)



RN 863253-23-0 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 5-(2-bromophenyl)-7-chloro-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo-, ethyl ester (CA INDEX NAME)



=> FILE REG			
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL	
	ENTRY	SESSION	
CA SUBSCRIBER PRICE	-0.80	-4.80	

FILE 'REGISTRY' ENTERED AT 16:58:47 ON 27 JUN 2008  
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STRUCTURE FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0  
DICTIONARY FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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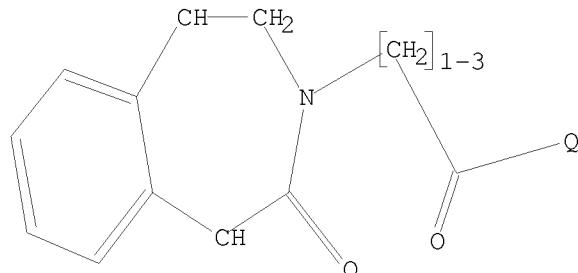
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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L26 STRUCTURE UPLOADED

=> D L26  
L26 HAS NO ANSWERS  
L26 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L26 SSS FULL  
FULL SEARCH INITIATED 16:59:14 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 148476 TO ITERATE

100.0% PROCESSED 148476 ITERATIONS 38 ANSWERS  
SEARCH TIME: 00.00.01

L27 38 SEA SSS FUL L26

=> FILE CAPLUS  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 178.36 859.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL  
ENTRY SESSION

CA SUBSCRIBER PRICE

0.00

-4.80

FILE 'CAPLUS' ENTERED AT 16:59:20 ON 27 JUN 2008  
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FILE COVERS 1907 - 27 Jun 2008 VOL 149 ISS 1  
FILE LAST UPDATED: 26 Jun 2008 (20080626/ED)

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=> S L27  
L28 2 L27

=> S L28 NOT L25  
L29 1 L28 NOT L25

=> D L29 IBIB ABS HITSTR

L29 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2002:536464 CAPLUS  
DOCUMENT NUMBER: 137:63182  
TITLE: Novel bicyclic vitronectin-receptor antagonists, e.g., bicycloheptene and benzazepine derivatives, and their preparation, and pharmaceuticals containing them  
INVENTOR(S): Casara, Patrick; Perron, Sierra Francoise; Atassi, Ghanem; Tucker, Gordon; Saint, Dizier Dominique  
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.  
SOURCE: Fr. Demande, 74 pp.  
CODEN: FRXXBL  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2806082	A1	20010914	FR 2000-2902	20000307
FR 2806082	B1	20020517		
CA 2402686	A1	20011025	CA 2001-2402686	20010306
CA 2402686	C	20080205		
WO 2001079172	A1	20011025	WO 2001-FR650	20010306

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
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EP 1268429	A1 20030102	EP 2001-969041	20010306
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PRIORITY APPLN. INFO.:		FR 2000-2902	A 20000307
		WO 2001-FR650	W 20010306

OTHER SOURCE(S): MARPAT 137:63182  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I, including enantiomers, diastereoisomers, and pharmaceutically acceptable acid and base addition salts, are disclosed [wherein: G = C, CH, N, or O, forming a benzene or aromatic or partially unsatd. heterocyclic group containing 1-2 heteroatoms and optionally substituted by R1-R4; G1, G2 = C or N; R1-R4 = halo, alkyl, perhaloalkyl, cyano, NO<sub>2</sub>, OR<sub>7</sub>, NR<sub>6</sub>R<sub>6</sub>', CO<sub>2</sub>R<sub>6</sub>, CONR<sub>6</sub>R<sub>6</sub>', COR<sub>6</sub>, S(O)<sub>n</sub>R<sub>6</sub>, or absent; n = 0-2; T1 = CH<sub>2</sub>CH<sub>2</sub>, CH:CH, :CHCH<sub>2</sub> and T2 = bond; or T1 = CH<sub>2</sub> or :CH and T2 = CH<sub>2</sub> or :CH; R<sub>5</sub> = (CH<sub>2</sub>)<sub>m</sub>COOR<sub>6</sub>; R<sub>6</sub>, R<sub>6</sub>' = H, alkyl, (un)substituted aryl or arylalkyl; R<sub>7</sub> = H, alkyl; W = CH, :C, or C: and A = CO, CH, :CH, or CH:; or W = N and A = CO or CH<sub>2</sub>; X = COX<sub>1</sub>, CONR<sub>6</sub>X<sub>1</sub>, NR<sub>6</sub>COX<sub>1</sub>, OX<sub>1</sub>, SO<sub>2</sub>NR<sub>6</sub>X<sub>1</sub>, S(O)<sub>n</sub>X<sub>1</sub>; X<sub>1</sub> = alkylene; Y = Y<sub>1</sub>, Y<sub>2</sub>Y<sub>1</sub>, Y<sub>1</sub>Y<sub>2</sub>Y<sub>1</sub>; Y<sub>1</sub> = alkylene, alkenylene, or alkynylene; Y<sub>2</sub> = arylene, heteroarylene, cycloalkylene, or heterocycloalkylene; Z = Z<sub>1</sub>, Z<sub>10</sub>NR<sub>6</sub>, or Z<sub>10</sub>NR<sub>6</sub>CO; Z<sub>10</sub> = alkyl or Z<sub>1</sub>; Z<sub>1</sub> = Z<sub>2</sub>, Z<sub>2</sub>OC(:NR<sub>6</sub>), Z<sub>2</sub>ONR<sub>6</sub>, and Z<sub>2</sub>ONR<sub>6</sub>CO; Z<sub>20</sub> = alkyl, heteroalkyl, or Z<sub>2</sub>; Z<sub>2</sub> = (un)substituted heteroaryl, heterocycloalkyl, heteroarylalkyl, heterocycloalkylalkyl, fused arylheteroaryl, fused arylheterocycloalkyl, fused heteroarylheterocycloalkyl, fused heteroarylheteroaryl, or fused cycloalkylheterocycloalkyl; m = 1-6]. Approx. 50 examples and 25 intermediate preps. are given, with little or no characterizing data. For instance, 5,6,8,9-tetrahydro-7H-benzocyclohepten-7-one (II) was converted in 4 steps to tert-Bu (7-formyl-6,9-dihydro-5H-benzocyclohepten-5-yl)acetate (III). This aldehyde underwent borohydride reduction to an alc., conversion to a bromide, coupling with 2-[(5-hydroxypentyl)amino]pyridine

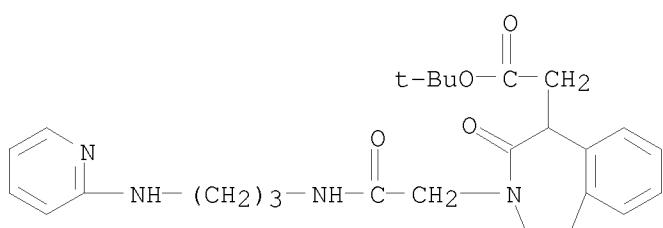
(preparation given), and acid hydrolysis, to give title compound IV.HCl. Compds.

I bound to human placental  $\alpha v\beta 3$  and  $\alpha v\beta 5$  vitronectin receptors in vitro with IC<sub>50</sub> values on the order of 1 nM. In tests of integrin-dependent cell adhesion using human placental ( $\alpha v\beta 3$ ) and human ovarian carcinoma ( $\alpha v\beta 5$ ) cells, compds. I inhibited adhesion to vitronectin with IC<sub>50</sub> values on the order of hundreds of nM and tens of nM, resp. However, in a test for aggregation of platelets from human platelet-rich plasma (side effect), compds. I showed no effect up to 100  $\mu$ M.

IT 439609-58-2P, tert-Butyl [2-Oxo-3-[2-oxo-2-[[3-(2-pyridinylamino)propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-61-7P, tert-Butyl [2-oxo-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-64-0P, tert-Butyl [2-oxo-3-[2-oxo-2-[[2-(2-pyridinylamino)ethyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-67-3P, tert-Butyl [2-oxo-3-[2-oxo-2-[[3-[(2-pyridinylamino)methyl]benzyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-70-8P, tert-Butyl [2-oxo-3-[2-oxo-2-[[3-[N-(4,5,6,7-tetrahydro-3H-azepin-2-yl)amino]propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-73-1P, tert-Butyl [2-oxo-3-[2-oxo-2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-76-4P, tert-Butyl [2-oxo-3-[2-oxo-2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]methyl]benzyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate  
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of bicycloheptene and benzazepine derivs. as vitronectin receptor antagonists)

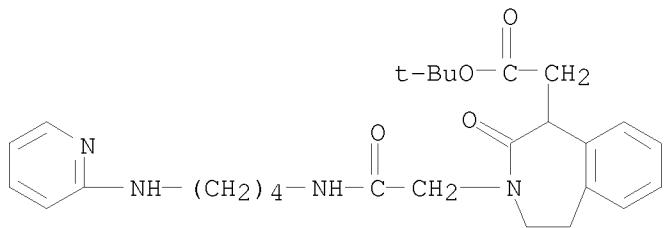
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CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[3-(2-pyridinylamino)propyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



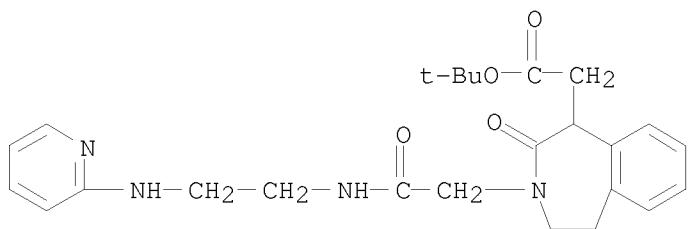
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CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



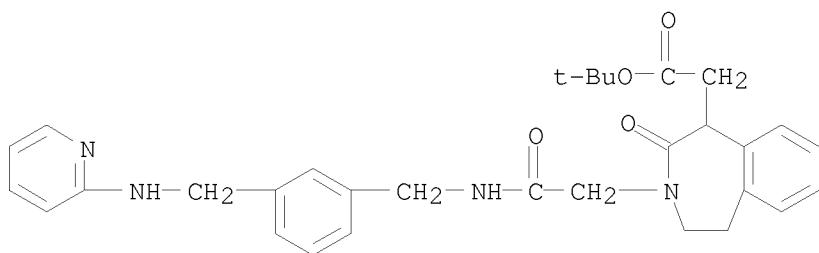
RN 439609-64-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[2-(2-pyridinylamino)ethyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



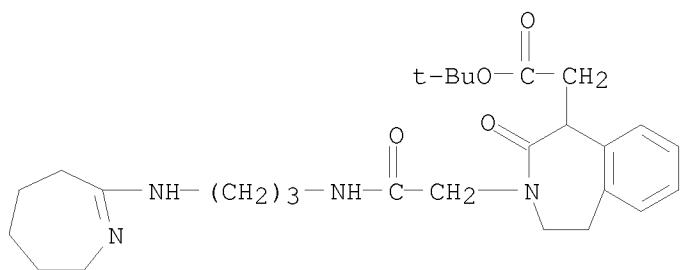
RN 439609-67-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[(3-[(2-pyridinylamino)methyl]phenyl)methyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

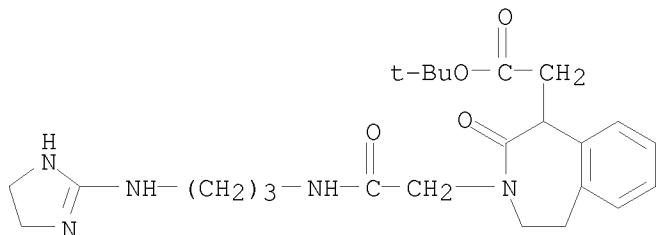


RN 439609-70-8 CAPLUS

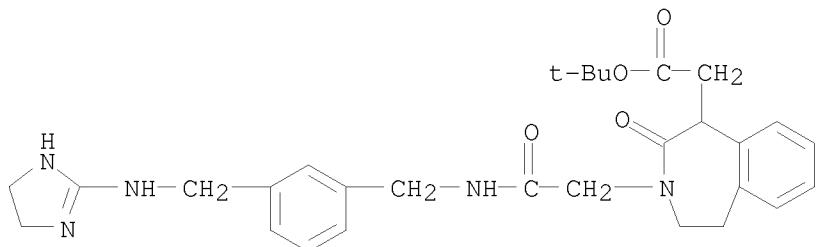
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[(3,4,5,6-tetrahydro-2H-azepin-7-yl)amino]propyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 439609-73-1 CAPLUS  
 CN 1H-3-Benzazepine-1-acetic acid, 3-[2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 439609-76-4 CAPLUS  
 CN 1H-3-Benzazepine-1-acetic acid, 3-[2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]methyl]phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (CA INDEX NAME)

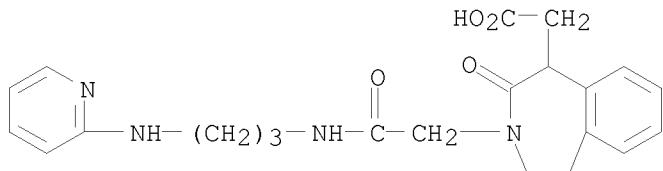


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 [2-Oxo-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-66-2P, [2-Oxo-3-[2-oxo-2-[[2-(2-pyridinylamino)ethyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-69-5P,  
 [2-Oxo-3-[2-oxo-2-[[3-[(2-pyridinylamino)methyl]benzyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-72-0P, [2-Oxo-3-[2-oxo-2-[[3-[N-(4,5,6,7-tetrahydro-3H-azepin-2-yl)amino]propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-75-3P,  
 [2-Oxo-3-[2-oxo-2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-78-6P,  
 [2-Oxo-3-[2-oxo-2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]methyl]benzyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of bicycloheptene and benzazepine derivs. as vitronectin receptor antagonists)

RN 439609-60-6 CAPLUS  
 CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[3-(2-pyridinylamino)propyl]amino]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

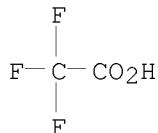
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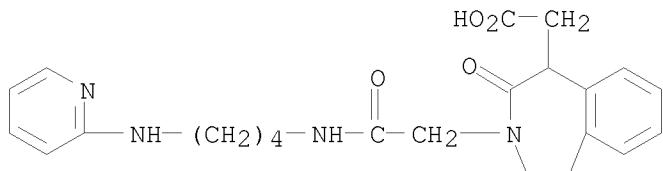


RN 439609-63-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

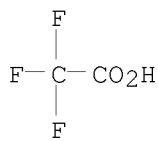
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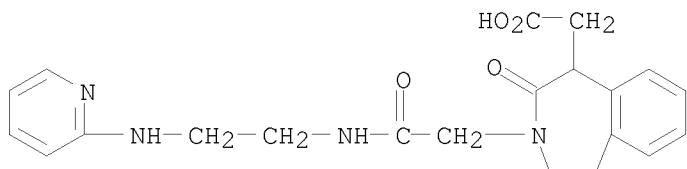
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RN 439609-66-2 CAPLUS  
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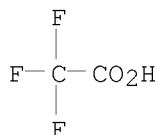
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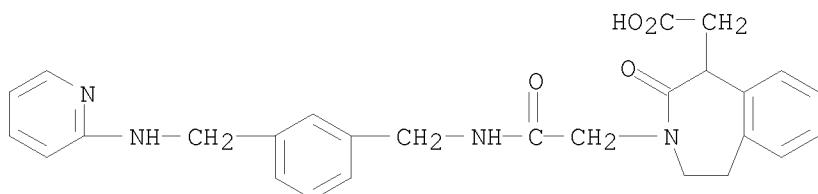
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RN 439609-69-5 CAPLUS  
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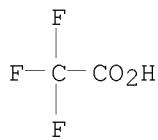
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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



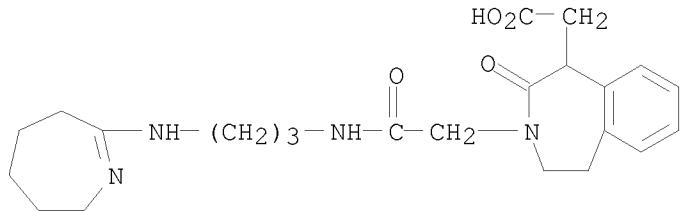
RN 439609-72-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[3-[(3,4,5,6-tetrahydro-2H-azepin-7-yl)amino]propyl]amino]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 439609-71-9

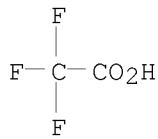
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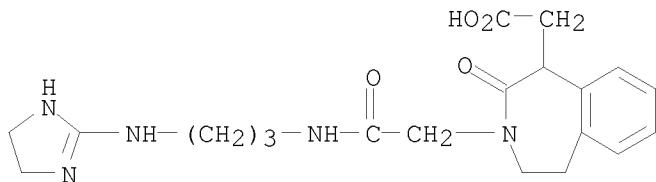
RN 439609-75-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[2-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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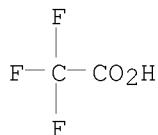
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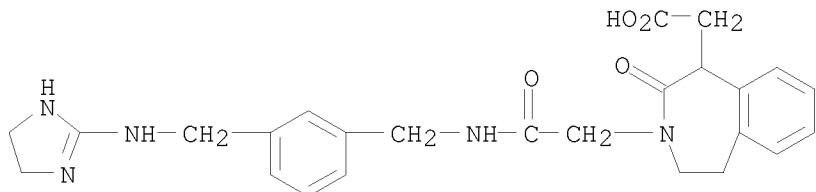
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RN 439609-78-6 CAPLUS  
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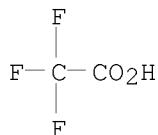
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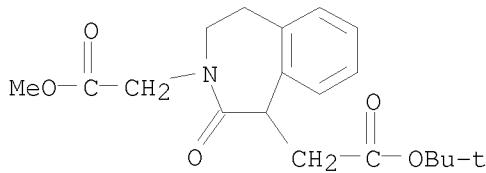


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IT 439609-85-5P, Methyl [1-(2-tert-butoxy-2-oxoethyl)-2-oxo-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]acetate  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of bicycloheptene and benzazepine derivs. as vitronectin receptor antagonists)  
RN 439609-85-5 CAPLUS  
CN 3H-3-Benzazepine-1,3-diacetic acid, 1,2,4,5-tetrahydro-2-oxo-, 1-(1,1-dimethylethyl) 3-methyl ester (CA INDEX NAME)



=> FILE REG  
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
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FULL ESTIMATED COST 8.81 867.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY

	SINCE FILE ENTRY	TOTAL SESSION
--	------------------	---------------

CA SUBSCRIBER PRICE -0.80 -5.60

FILE 'REGISTRY' ENTERED AT 17:03:24 ON 27 JUN 2008  
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STRUCTURE FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0  
DICTIONARY FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

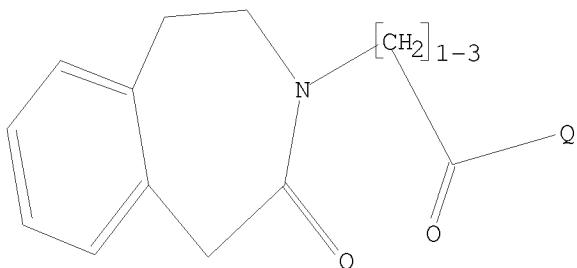
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stnexp/stndoc/properties.html>

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Uploading C:\Program Files\STNEXP\Queries\10588862 genus3.str

L30 STRUCTURE UPLOADED

=> D L30  
L30 HAS NO ANSWERS  
L30 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L30 SSS FULL  
 FULL SEARCH INITIATED 17:03:43 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 148476 TO ITERATE

100.0% PROCESSED 148476 ITERATIONS 49 ANSWERS  
 SEARCH TIME: 00.00.01

L31 49 SEA SSS FUL L30

=> FILE CAPLUS			
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION	
FULL ESTIMATED COST	178.36	1046.27	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION	
CA SUBSCRIBER PRICE	0.00	-5.60	

FILE 'CAPLUS' ENTERED AT 17:03:51 ON 27 JUN 2008  
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 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 27 Jun 2008 VOL 149 ISS 1  
 FILE LAST UPDATED: 26 Jun 2008 (20080626/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

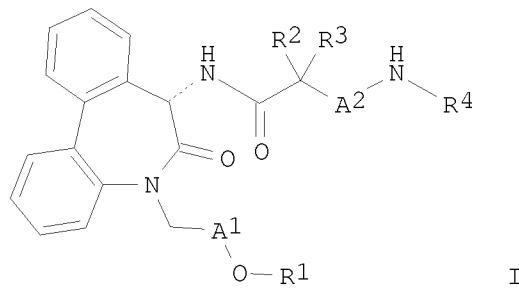
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L32 14 L31

=> S L32 NOT L28  
L33 12 L32 NOT L28

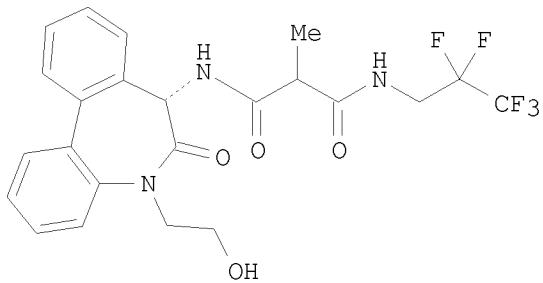
=> D L33 1-12 IBIB ABS HITSTR

L33 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2007:1092898 CAPLUS  
DOCUMENT NUMBER: 147:385858  
TITLE: Preparation of dibenzoazepine compounds as  
γ-secretase inhibitors  
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Wostl,  
Wolfgang  
PATENT ASSIGNEE(S): Switz.  
SOURCE: U.S. Pat. Appl. Publ., 39pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070225273	A1	20070927	US 2007-726639	20070322
WO 2007110335	A1	20071004	WO 2007-EP52557	20070319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			EP 2006-111771	A 20060327
OTHER SOURCE(S):			MARPAT 147:385858	
GI				



I



II

AB Title compds. I [A1 = -CHR- or -CO-; A2 = -CO- and R2, R3 = H, alkyl, halo, etc.; A2 = -O-CO- and R2, R3 = H or alkyl; R = H or alkyl substituted by halo; R1 = H, alkyl or -(CH<sub>2</sub>)<sub>n</sub>-aryl (wherein alkyl and -(CH<sub>2</sub>)-aryl are optionally substituted by halo); R4 = alkyl substituted by halo; n = 0-2] or pharmaceutically acceptable acid addition salts, optically pure enantiomers, racemates, or diastereomeric mixture thereof were prepared For example, acylation of (S)-7-amino-5-(2-benzyloxyethyl)-5H,7H-dibenzo[b,d]azepin-6-one, e.g., prepared from ((S)-6-oxo-6,7-dihydro-5H-dibenzo[b,d]azepin-7-yl)carbamic acid tert-Bu ester in 2 steps, with 2-methyl-N-(2,2,3,3,3-pentafluoropropyl)malonamic acid followed by de-benzylation afforded compound II. In  $\gamma$ -secretase inhibition assays, the IC<sub>50</sub> value of compound II was 0.001  $\mu$ M. Of note, compds. I are useful for the treatment of Alzheimer's disease.

IT 950688-24-1P

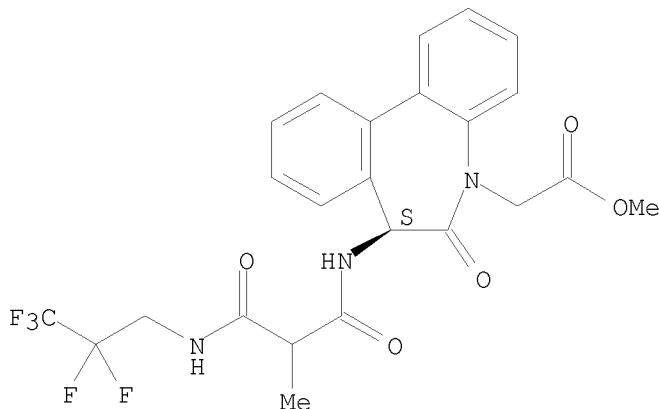
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dibenzodiazepine compds. as  $\gamma$ -secretase inhibitors)

RN 950688-24-1 CAPLUS

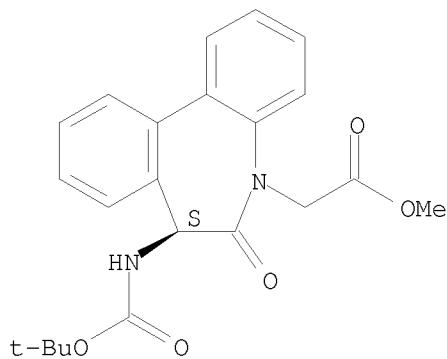
CN 5H-Dibenzo[b,d]azepine-5-acetic acid, 6,7-dihydro-7-[(2-methyl-1,3-dioxo-3-[(2,2,3,3,3-pentafluoropropyl)amino]propyl]amino]-6-oxo-, methyl ester, (7S)- (CA INDEX NAME)

Absolute stereochemistry.



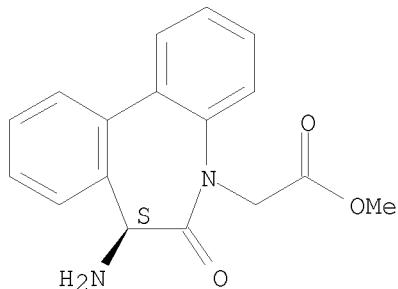
IT 950688-96-7P 950688-97-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of dibenzodiazepine compds. as  $\gamma$ -secretase inhibitors)  
 RN 950688-96-7 CAPLUS  
 CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester, (7S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 950688-97-8 CAPLUS  
 CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, (7S)- (CA INDEX NAME)

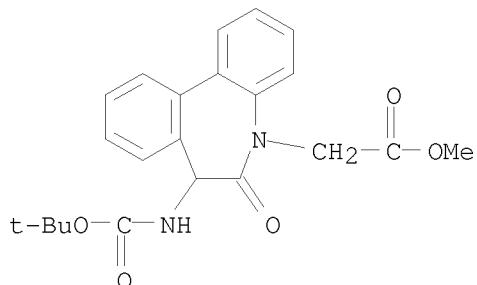
Absolute stereochemistry.



L33 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1141473 CAPLUS  
 DOCUMENT NUMBER: 143:422268  
 TITLE: Preparation of polycyclic  $\alpha$ -amino- $\epsilon$ -caprolactams and related compounds as synthetic intermediates for the preparation of inhibitors of  $\beta$ -amyloid peptide release  
 INVENTOR(S): Audia, James E.; Mabry, Thomas E.; Nissen, Jeffrey A.; McDaniel, Stacey L.; Porter, Warren J.  
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly and Company  
 SOURCE: U.S., 53 pp., Cont.-in-part of U.S. Ser. No. 337,408, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6958330	B1	20051025	US 2001-882777	20010614
PRIORITY APPLN. INFO.:			US 1998-160066P	P 19980622
			US 1999-337408	B2 19990621

OTHER SOURCE(S): MARPAT 143:422268  
 AB Title compds. R1NHCR2CONHW [I; W = substituted  $\epsilon$ -caprolactam; R1 = H or N-protecting group; R2 = H, (un)substituted alkyl, alkenyl, etc.] are prepared and disclosed as synthetic intermediates in the preparation of inhibitors of  $\beta$ -amyloid peptide release and/or its synthesis. Thus, e.g., 5-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride (II) was prepared by methylation of 5,7-dihydro-6H-dibenz[b,d]azepin-6-one, followed by reaction with Bu nitrite to introduce an oximo group which was hydrogenated to the 5-amine group of II and acidified to form the HCl salt. Examples were given to illustrate how a compound prepared from a synthetic intermediate of this invention (I) could be assayed to determine its ability to inhibit  $\beta$ -amyloid production in a cell (no data).  
 IT 228877-20-1P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of polycyclic  $\alpha$ -amino- $\epsilon$ -caprolactams and related compound as synthetic intermediates in the preparation of inhibitors of  $\beta$ -amyloid peptide release and/or its synthesis)  
 RN 228877-20-1 CAPLUS  
 CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



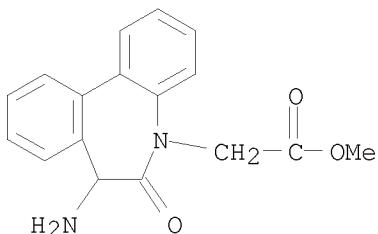
IT 228877-62-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of polycyclic  $\alpha$ -amino- $\epsilon$ -caprolactams and related compound as synthetic intermediates in the preparation of inhibitors of  $\beta$ -amyloid peptide release and/or its synthesis)

RN 228877-62-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 227 THERE ARE 227 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:413722 CAPLUS

DOCUMENT NUMBER: 139:117330

TITLE: Synthesis and characterization of two [5,6]-open and [6,6]-closed [60]fullerene isomers

AUTHOR(S): Xiao, Shengqiang; Li, Yuliang; Fang, Hongjuan; Li, Hongmei; Liu, Huibiao; Jiang, Lei; Zhu, Daoben

CORPORATE SOURCE: Center for Molecular Sciences, Institute of Chemistry, Chinese Academy of Sciences, Beijing, 100080, Peop. Rep. China

SOURCE: Synthetic Metals (2003), 135-136, 839-840

CODEN: SYMEDZ; ISSN: 0379-6779

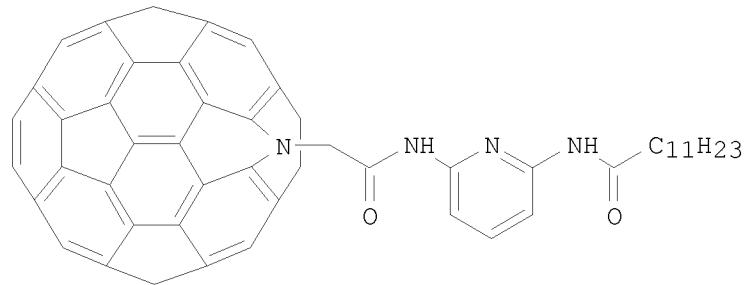
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

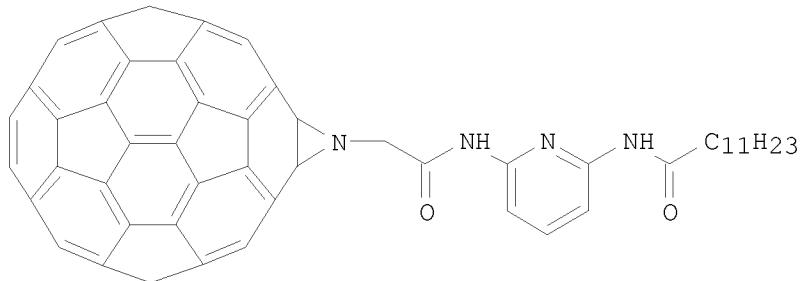
LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:117330

GI



I



II

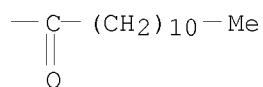
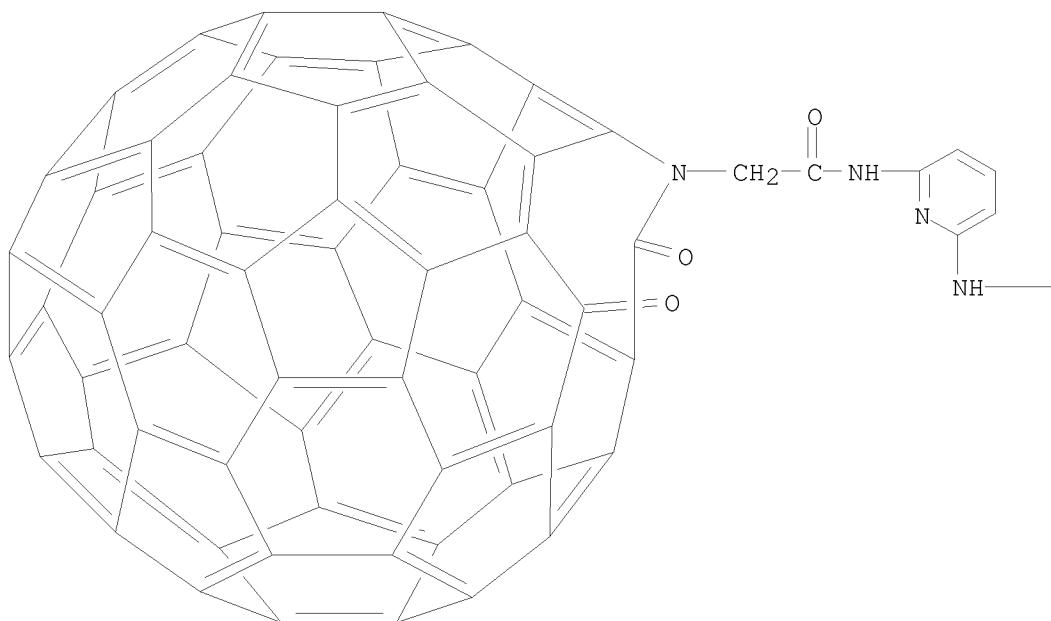
AB Two novel [5,6]-open (I) and [6,6]-closed (II) fullerene isomers and a ketolactam fullerene derivative bearing 2,6-bis(acylamino)pyridine unit as hydrogen bonding motif were synthesized through the cycloaddn. reaction of alkyl azide to [60]fullerene. <sup>1</sup>H NMR, <sup>13</sup>C NMR, MALDI-TOF and FTIR confirmed their structures.

IT 461019-13-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation by photochem. oxidation of [5,6]-open isomer of fullerene bis(acylamino)pyridine derivative)

RN 461019-13-6 CAPLUS

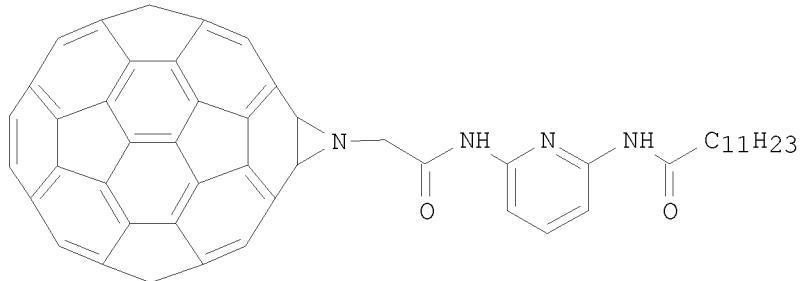
CN 2a-Aza-1,2(2a)-homo-2,3-seco[5,6]fullerene-C<sub>60</sub>-1h-2a-acetamide,  
2,3-dihydro-2,3-dioxo-N-[6-[(1-oxododecyl)amino]-2-pyridinyl]- (9CI) (CA  
INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:572226 CAPLUS  
 DOCUMENT NUMBER: 137:247564  
 TITLE: *Synthesis and Characterization of Three Novel [60]Fullerene Derivatives toward Self-Assembled Nanoparticles through Interaction of Hydrogen Bonding*  
 AUTHOR(S): Xiao, Shengqiang; Li, Yuliang; Fang, Hongjuan; Li, Hongmei; Liu, Huibiao; Shi, Zhiqiang; Jiang, Lei; Zhu, Daoben  
 CORPORATE SOURCE: Center for Molecular Sciences, Institute of Chemistry, Chinese Academy of Sciences, Beijing, 100080, Peop. Rep. China  
 SOURCE: *Organic Letters* (2002), 4(18), 3063-3066  
 CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 137:247564  
GI



I

AB Three novel fullerene derivs. bearing a 2,6-bis(acylamino)pyridine unit as a hydrogen bonding motif displaying a dimerization tendency were synthesized and characterized by the cycloaddn. reaction of alkyl azide to [60]fullerene. An SEM image of the dimerization system of compound I indicated spherical particles having a mean diameter of 15 nm with a rather narrow size distribution.

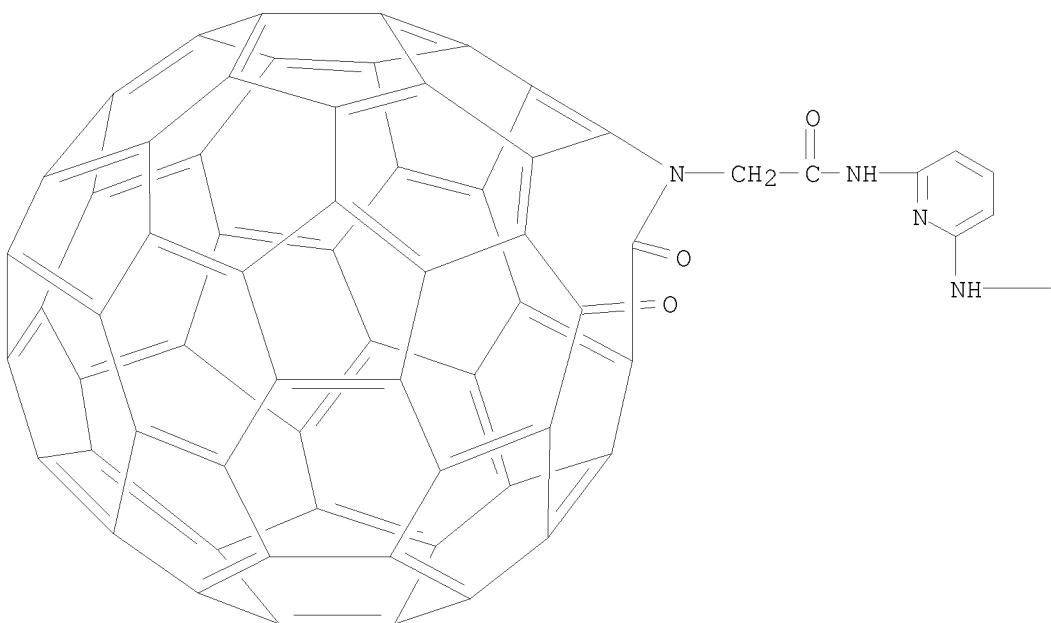
IT 461019-13-6P

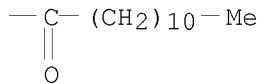
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 461019-13-6 CAPLUS

CN 2a-Aza-1,2(2a)-homo-2,3-seco[5,6]fullerene-C60-1h-2a-acetamide,  
2,3-dihydro-2,3-dioxo-N-[6-[(1-oxododecyl)amino]-2-pyridinyl]- (9CI) (CA  
INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:819353 CAPLUS  
 DOCUMENT NUMBER: 132:64534  
 TITLE: Preparation of cyclic amino acid compounds for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis  
 INVENTOR(S): Thompson, Richard C.; Wilkie, Stephen; Stack, Douglas R.; Vanmeter, Eldon E.; Shi, Qing; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Henry, Steven S.; McDaniel, Stacey L.; Stucky, Russell D.; Porter, Warren J.  
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company; et al.  
 SOURCE: PCT Int. Appl., 714 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967221	A1	19991229	WO 1999-US14193	19990622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325389	A1	19991229	CA 1999-2325389	19990622
AU 9947101	A	20000110	AU 1999-47101	19990622
EP 1089980	A1	20010411	EP 1999-930594	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518483	T	20020625	JP 2000-555875	19990622
US 20050192265	A1	20050901	US 2004-2922	20041203
PRIORITY APPLN. INFO.:			US 1998-102507	A2 19980622

OTHER SOURCE(S): MARPAT 132:64534

AB Cyclic compds., e.g., R1R15'NC(Q)NR15(Y)n(CH)pC(X)W [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heterocyclyl, heteroaryl; R15 = H, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclyl; R15' = H, OH, alkyl, substituted alkyl, heterocyclyl, heteroaryl; W together with (CH)pC(X) forms an (un)substituted cycloalkyl or cycloalkenyl, heterocyclyl, which are optionally fused to form a bi- or multi-fused ring systems; X = oxo, thioxo, hydroxyl, thiol, or hydro (H,H); Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; p = 0 or 1], were prepared for inhibition of  $\beta$ -amyloid peptide release and/or its synthesis. Thus, (S)-3-[(N-(2-thiophenecarbonyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepared via acylation of (S)-3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one with 2-thiophenecarboxylic acid. Compds. of the invention inhibit  $\beta$ -amyloid peptide production by at least 30% as compared to the control.

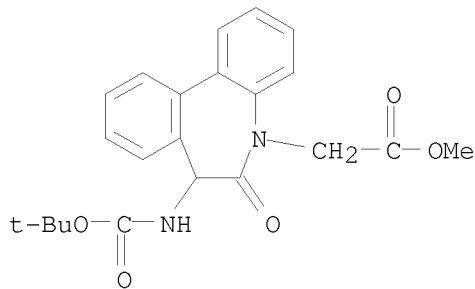
IT 228877-20-1P 228877-62-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclic amino acid compds. for inhibiting  $\beta$ -amyloid peptide release)

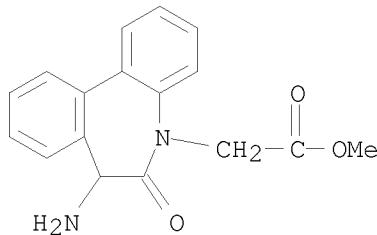
RN 228877-20-1 CAPPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-62-1 CAPPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

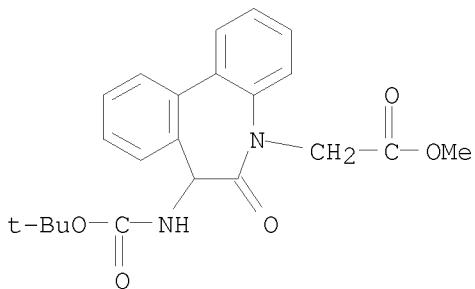
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1999:819352 CAPLUS  
DOCUMENT NUMBER: 132:64533  
TITLE: Preparation of cyclic amino acid compounds for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis  
INVENTOR(S): Audia, James E.; Thompson, Richard C.; Wilkie, Stephen C.; Britton, Thomas C.; Porter, Warren J.; Huffman, George W.; Latimer, Lee H.  
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company  
SOURCE: PCT Int. Appl., 271 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

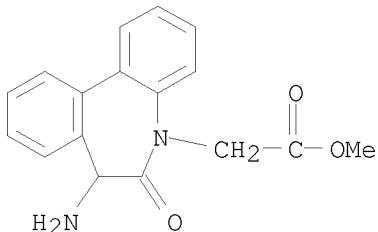
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967220	A1	19991229	WO 1999-US14007	19990621
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325388	A1	19991229	CA 1999-2325388	19990621
AU 9952047	A	20000110	AU 1999-52047	19990621
EP 1089981	A1	20010411	EP 1999-937164	19990621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518482	T	20020625	JP 2000-555874	19990621
US 6509331	B1	20030121	US 1999-337484	19990621
US 20030153550	A1	20030814	US 2002-267017	20021007
US 6774125	B2	20040810		
PRIORITY APPLN. INFO.:			US 1998-102728	A2 19980622
			US 1998-155265P	P 19980622
			US 1999-337484	A3 19990621
			WO 1999-US14007	W 19990621

OTHER SOURCE(S): MARPAT 132:64533  
AB Compds. R1(Z)mNH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH or (CHR2')aNH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, R2' = H or R2; R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl, Z = -T-CX'X''CO, where T is selected from the group consisting of a bond covalently linking R1 to -CX'X''-, oxygen, sulfur and -NR6 (R6 = H, acyl, alkyl, aryl, heteroaryl), X' is H, OH, F, X'' is H, OH, F or X' and X'' together form an oxo group; m = 0 or 1; n = 1 or 2] were prepared for inhibition of  $\beta$ -amyloid peptide release and/or its synthesis. Thus, 1-(L-alaninylamino)-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one was prepared via coupling of N-tert-butoxycarbonyl-L-alanine with 1-amino-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one. Compds. of the invention inhibit  $\beta$ -amyloid peptide production by at least 30% as compared to the control when employed at 10  $\mu$ g/mL.

IT 228877-20-1P 228877-21-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of cyclic amino acid compds. for inhibiting  $\beta$ -amyloid peptide release)  
 RN 228877-20-1 CAPLUS  
 CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-21-2 CAPLUS  
 CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:819351 CAPLUS  
 DOCUMENT NUMBER: 132:64532  
 TITLE: Preparation of cyclic amino acid compounds for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis  
 INVENTOR(S): Audia, James E.; Porter, Warren J.; Thompson, Richard C.; Wilkie, Stephen C.; Stack, Douglas R.; Shi, Qing  
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company  
 SOURCE: PCT Int. Appl., 287 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9967219	A1	19991229	WO 1999-US14096	19990622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

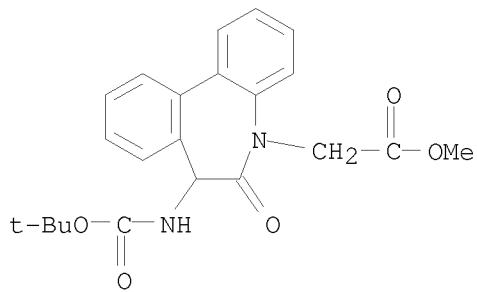
DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2324474	A1	19991229	CA 1999-2324474	19990622
AU 9947079	A	20000110	AU 1999-47079	19990622
EP 1089977	A1	20010411	EP 1999-930566	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518481	T	20020625	JP 2000-555873	19990622
US 6552013	B1	20030422	US 1999-338121	19990622
US 20030149022	A1	20030807	US 2002-326081	20021223
US 6838455	B2	20050104		
US 20050192265	A1	20050901	US 2004-2922	20041203
PRIORITY APPLN. INFO.:			US 1998-102507	A2 19980622
			US 1998-150704P	P 19980930
			US 1998-162757	A2 19980930
			US 1998-160067P	P 19980622
			US 1999-338121	A3 19990622
			WO 1999-US14096	W 19990622
			US 2003-392332	A3 20030320

OTHER SOURCE(S): MARPAT 132:64532

AB Compds. R1ZNH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl; Z is represented by -T-CX'X''V- where T is selected from the group consisting of a bond covalently linking R1 to -CX'X''-, oxygen, sulfur and -NR6 (R6 = H, acyl, alkyl, aryl, heteroaryl), X' is H, OH, F, X'' is H, OH, F or X' and X'' together form an oxo group, V is alkylene or substituted alkylene or R1 and Z together form aryl or (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; n = 1 or 2] were prepared for inhibition of  $\beta$ -amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-(2-(3,5-difluorophenyl)ethyl]-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepared by reductive alkylation of 5-(S)-(L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride with 3,5-difluorophenylacetaldehyde using sodium cyanoborohydride. Compds. of the invention inhibit  $\beta$ -amyloid peptide production by at least 30% as compared to the control when employed at 10  $\mu$ g/mL.

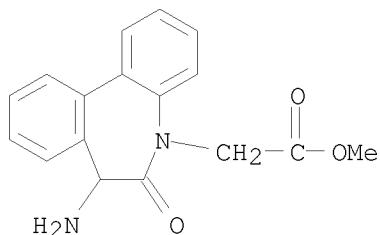
IT 228877-20-1P 228877-62-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of cyclic amino acid compds. for inhibiting  $\beta$ -amyloid peptide release)

RN 228877-20-1 CAPLUS  
 CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-62-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:819249 CAPLUS

DOCUMENT NUMBER: 132:64531

TITLE: Preparation of cyclic amino acid compounds for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis

INVENTOR(S): Audia, James E.; Dressman, Bruce A.; Shi, Qing

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company

SOURCE: PCT Int. Appl., 256 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966934	A1	19991229	WO 1999-US14211	19990622
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

CA 2324475	A1	19991229	CA 1999-2324475	19990622
AU 9947104	A	20000110	AU 1999-47104	19990622
EP 1093372	A1	20010425	EP 1999-930600	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518451	T	20020625	JP 2000-555620	19990622
US 20050192265	A1	20050901	US 2004-2922	20041203
PRIORITY APPLN. INFO.:				
			US 1998-102507	A2 19980622
			US 1998-164451	A2 19980930
			WO 1999-US14211	W 19990622
			US 2003-392332	A3 20030320

OTHER SOURCE(S): MARPAT 132:64531

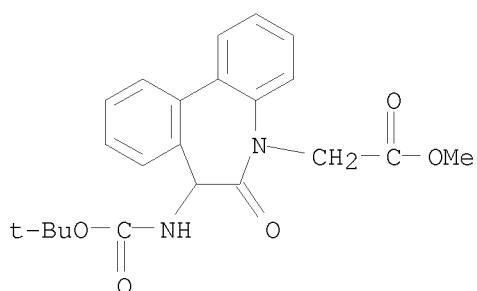
AB Compds. R'R''NCHR1CONH(Y)nW and R':NC(:R1)CONH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 and R' form a nitrogen-containing heterocycle; R'' = H, alkyl, substituted alkyl, aryl; n = 1 or 2] were prepared for inhibition of  $\beta$ -amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-(L-prolyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepared via coupling of N-(N'-tert-butoxycarbonyl-L-prolyl)-L-alanine with 5-(S)-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one. Compds. of the invention inhibit  $\beta$ -amyloid peptide production by at least 30% as compared to the control when employed at 10  $\mu$ g/mL.

IT 228877-20-1P 228877-62-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of cyclic amino acid compds. for inhibiting  $\beta$ -amyloid peptide release)

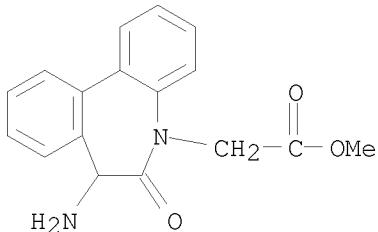
RN 228877-20-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-62-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:425778 CAPLUS  
 DOCUMENT NUMBER: 131:73572  
 TITLE: Preparation of annelated caprolactams as inhibitors of  $\beta$ -amyloid release and/or synthesis.  
 INVENTOR(S): Audia, James E.; Mabry, Thomas E.; Nissen, Jeffrey S.; McDaniel, Stacey L.  
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly and Company  
 SOURCE: PCT Int. Appl., 114 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

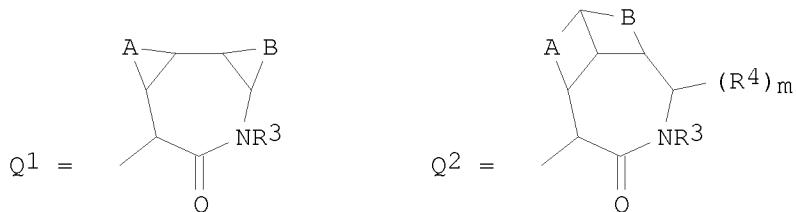
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932453	A1	19990701	WO 1998-US22637	19981029
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6635632	B1	20031021	US 1997-996422	19971222
CA 2307221	A1	19990701	CA 1998-2307221	19981029
AU 9912777	A	19990712	AU 1999-12777	19981029
BR 9812773	A	20001010	BR 1998-12773	19981029
EP 1042298	A1	20001011	EP 1998-956198	19981029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001000294	A2	20010928	HU 2001-294	19981029
HU 2001000294	A3	20030428		
JP 2003521438	T	20030715	JP 2000-525390	19981029
MX 2000PA05540	A	20010328	MX 2000-PA5540	20000605
US 20020068741	A1	20020606	US 2001-915263	20010726
US 20020052359	A1	20020502	US 2001-915480	20010727
US 6544978	B2	20030408		
US 20020111343	A1	20020815	US 2001-915547	20010727
US 20020115652	A1	20020822	US 2001-915362	20010727
US 6541466	B2	20030401		

US 20020123486	A1	20020905	US 2001-915342	20010727
US 6632811	B2	20031014		
US 20020137738	A1	20020926	US 2001-915564	20010727
US 6559141	B2	20030506		
US 20020151538	A1	20021017	US 2001-915379	20010727
US 6579867	B2	20030617		
US 20020173504	A1	20021121	US 2001-915519	20010727
US 20020045747	A1	20020418	US 2001-916282	20010730
US 20020055500	A1	20020509	US 2001-916440	20010730
US 6653303	B1	20031125	US 2003-336824	20030106
US 6667305	B1	20031223	US 2003-336745	20030106
US 6683075	B1	20040127	US 2003-336806	20030106
US 20040043977	A1	20040304	US 2003-336687	20030106
US 20040058900	A1	20040325	US 2003-336767	20030106
US 20050203080	A1	20050915	US 2003-733877	20031212
US 7153847	B2	20061226		
US 20050182046	A1	20050818	US 2004-777247	20040213
US 20050215541	A1	20050929	US 2004-951992	20040929
US 6951854	B2	20051004		
US 20050272666	A1	20051208	US 2004-1610	20041202
US 20060079499	A1	20060413	US 2004-1608	20041202
US 20070203108	A1	20070830	US 2006-433923	20060512
US 7390801	B2	20080624		

PRIORITY APPLN. INFO.:

US 1996-64851P	P	19961223
US 1997-996422	A	19971222
US 1998-102726	A	19980622
US 1996-780025	A	19961223
WO 1998-US22637	W	19981029
US 2001-915263	A1	20010726
US 2001-915342	A3	20010727
US 2001-915362	A3	20010727
US 2001-915379	A3	20010727
US 2001-915480	A3	20010727
US 2001-915564	A3	20010727
US 2001-916440	A1	20010730
US 2003-336687	B3	20030106
US 2003-336767	A3	20030106
US 2003-733877	A1	20031212

OTHER SOURCE(S): MARPAT 131:73572  
GI



AB R1(NHCHR<sub>2</sub>CO)<sub>n</sub>NHW [W = Q1, Q2, etc.; R1 = H, blocking group; R2 = H, (substituted) alkyl, alkenyl, alkynyl, acyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclyl; A, B = atoms to form aryl, (substituted) cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic ring; R3 = H, (substituted) alkyl, alkenyl, alkynyl, acyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclyl; R4 = (substituted) alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclyl; m, n = 0-2], were prepared Thus, 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

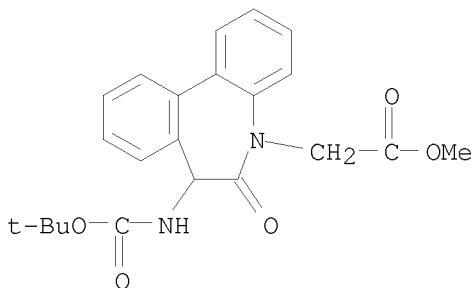
(preparation given) in PhMe was treated with Bu nitrite; the mixt at 0° was treated with KN(SiMe3)2 followed by 1 h stirring to give 80% 7-methyl-5-oximo-5,7-dihydro-6H-dibenz[b,d]azepin-6-one. The latter was hydrogenated in EtOH over Pd/C at 35 psi to give 61% 5-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride. Title compds. at 10 µg/mL inhibit β-amyloid production by ≥30%.

IT 228877-20-1P 228877-21-2P 228877-62-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of annelated caprolactams as inhibitors of β-amyloid release and/or synthesis)

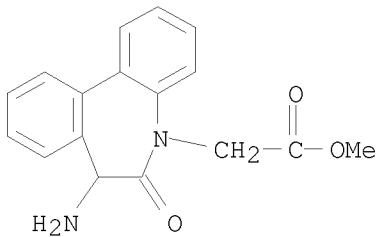
RN 228877-20-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



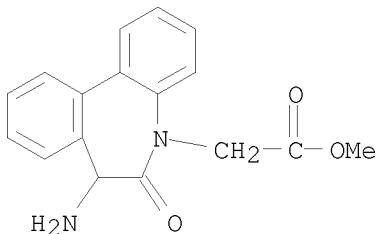
RN 228877-21-2 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-62-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:406141 CAPLUS

DOCUMENT NUMBER: 113:6141

ORIGINAL REFERENCE NO.: 113:1190h,1191a

TITLE: Condensation of iminophosphoranes with dicarbonyl dihalides: a one-step synthesis of new azepine derivatives

AUTHOR(S): Aubert, Thierry; Farnier, Michel; Guilard, Roger

CORPORATE SOURCE: Lab. Synth. Electrosynth. Organomet., Fac. Sci.

"Gabriel", Dijon, F-21100, Fr.

SOURCE: Synthesis (1990), (2), 149-50

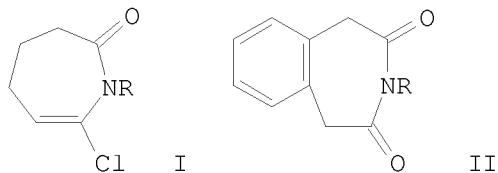
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:6141

GI



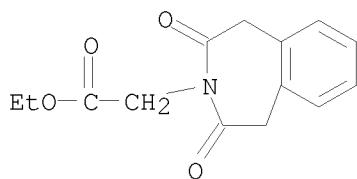
AB Condensation of RN:PPh<sub>3</sub> (R = PhCH<sub>2</sub>, CH<sub>2</sub>CO<sub>2</sub>Et), prepared from RN<sub>3</sub> and PPh<sub>3</sub>, with adipoyl chloride and benzenediacetyl chloride gave azepines I and benzazepines II, resp.

IT 127441-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 127441-02-5 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 1,2,4,5-tetrahydro-2,4-dioxo-, ethyl ester  
(CA INDEX NAME)



L33 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1971:463539 CAPLUS

DOCUMENT NUMBER: 75:63539

ORIGINAL REFERENCE NO.: 75:10067a,10070a

TITLE: Chemistry of heterocycles. III. Synthesis and reactions of benzolactam-N-acetic acid esters

AUTHOR(S): Petyunin, P. A.; Bolotov, V. V.; Soldatova, A. F.

CORPORATE SOURCE: Khar'k. Farm. Inst., Kharkov, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1971), 7(5), 1069-75

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

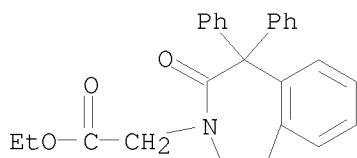
AB 3,3-Diaryl-2-indolinones, 1,2,3,4-tetrahydro-3-isoquinolinones, and -1,2,3,4-tetrahydro-2(5H)-benzazepinones (aryl = Ph, p-MeC6H4) reacted with RCHXCO2R1 (R = H, Et, iso-Pr, Ph; R1 = Et, CH2CH2Cl, Bu; X = Cl, Br) in the presence of NaOEt to give the corresponding benzolactam-N-acetic esters (I) in 73-95% yield. I underwent hydrazinolysis, amidation, saponification, and transesterification in the usual manner.

IT 32927-59-6P 32933-52-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

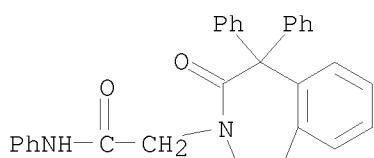
RN 32927-59-6 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 1,2,4,5-tetrahydro-2-oxo-1,1-diphenyl-, ethyl ester (CA INDEX NAME)



RN 32933-52-1 CAPLUS

CN 3H-3-Benzazepine-3-acetanilide, 1,2,4,5-tetrahydro-2-oxo-1,1-diphenyl- (8CI) (CA INDEX NAME)



L33 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1970:66713 CAPLUS

DOCUMENT NUMBER: 72:66713

ORIGINAL REFERENCE NO.: 72:12166h,12167a  
 TITLE: Anticonvulsant and pesticidal 10-hydroxy-10,5-(iminomethano)-5H-dibenzo[a,d]cycloheptene-11,13(10H)-diones  
 INVENTOR(S): Davis, Martin A.; Dobson, Thomas A.  
 PATENT ASSIGNEE(S): American Home Products Corp.  
 SOURCE: U.S., 5 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3487075	A	19691230	US 1966-605224	19661228
PRIORITY APPLN. INFO.:			US 1966-605224	A 19661228

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), having anticonvulsant, antibacterial, and trichomonacidal properties, are prepared by treating 10,5-(epoxymethano)-5H-dibenzo-[a,d]cycloheptene-11,13(10H)-dione (II) with an amine to give III followed by oxidation. Thus, 8.0 g II in 40 ml dioxane was added dropwise with stirring to 150 ml concentrated aqueous NH<sub>3</sub> under N and the mixture kept 2 hr to

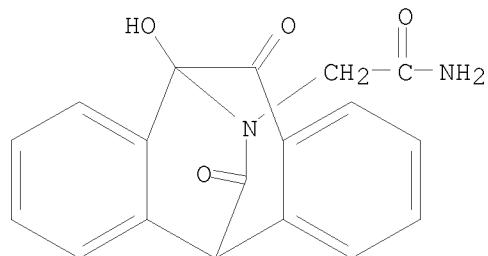
give 6.0 g III (R = H), m. 172-3°. This (1.0 g) in 25 ml Me<sub>2</sub>CO was treated dropwise with 3 ml 8N H<sub>2</sub>CrO<sub>4</sub> and worked up to yield I (R = H), m. 227-30° (EtOH). II (10 g) in 50 ml dioxane was added dropwise to a mixture of 200 ml concentrated NH<sub>3</sub> solution and 200 ml H<sub>2</sub>O in an open vessel and the mixture agitated 4 hr to give 5.0 g I (R = H), m. 242-3°. Similarly prepared were I (R and m.p. given): Me, 222-3° (MeOCH<sub>2</sub>CH<sub>2</sub>OMe); Et, 202-3° (EtOH-hexane); Pr, 171-2° (CHCl<sub>3</sub>-hexane); Bu, 128-9° (EtOAc-hexane); PhCH<sub>2</sub>CH<sub>2</sub>, 165-6° (EtOH-hexane); CH<sub>2</sub>-cH:CH<sub>2</sub>, 169-70° (EtOAc); cyclopropyl, 162-3° (EtOAc-hexane); HOCH<sub>2</sub>CH<sub>2</sub>, 179-80° (EtOAc); Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, 164-6° (EtOAc); H<sub>2</sub>NCOCH<sub>2</sub>, 211-13° (decomposition) (EtOH or MeCN).

IT 27086-84-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 27086-84-6 CAPLUS

CN 10,5-(Iminomethano)-5H-dibenzo[a,d]cycloheptene-12-acetamide,  
 10,11-dihydro-10-hydroxy-11,13-dioxo- (8CI) (CA INDEX NAME)



=> FIL STNGUIDE

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
71.64	1117.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.60	-15.20

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FILE CONTAINS CURRENT INFORMATION.  
 LAST RELOADED: Jun 20, 2008 (20080620/UP).

=> D HIS

(FILE 'HOME' ENTERED AT 16:16:16 ON 27 JUN 2008)

FILE 'REGISTRY' ENTERED AT 16:16:25 ON 27 JUN 2008

L1	STRUCTURE UPLOADED
L2	0 S L1 FAM FULL
L3	0 S L1 SSS FULL

FILE 'CPLUS' ENTERED AT 16:19:23 ON 27 JUN 2008

L4	0 S L3
	E GRIEBENOW NILS/IN
L5	9 S E3
	E FLESSNER TIMO/IN
L6	12 S E3
	E HARTER MICHAEL/IN
L7	6 S E3 OR E4
	E RAABE MARTIN/IN
L8	15 S E3
	E BUCHMULLER ANJA/IN
	E E2+ALL/CT
L9	1 S E2
	E BUCHMUELLER ANJA/IN
L10	6 S E3
	E BISCHOFF HILMAR/IN
L11	115 S E3 OR E4 OR E5
	E ELLINGHAUS PETER/IN
L12	20 S E3
	E KOLKHOF PETER/IN
L13	27 S E3
L14	189 S L5 OR L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13
L15	113655 S CARDIOVASCULAR
L16	78 S L15 AND L14
L17	0 S L5 AND L6 AND L7
L18	5 S L8 AND L11
L19	5 S "TETRAHYDROBENZO[D]AZEPIN-2-ONE"
L20	0 S L19 AND L14

FILE 'STNGUIDE' ENTERED AT 16:28:54 ON 27 JUN 2008

FILE 'REGISTRY' ENTERED AT 16:49:28 ON 27 JUN 2008

L21	STRUCTURE UPLOADED
L22	0 S L21 SSS FULL
L23	STRUCTURE UPLOADED
L24	10 S L23 SSS FULL

FILE 'CPLUS' ENTERED AT 16:55:39 ON 27 JUN 2008

L25	1 S L24
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FILE 'REGISTRY' ENTERED AT 16:58:47 ON 27 JUN 2008  
L26 STRUCTURE uploaded  
L27 38 S L26 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:59:20 ON 27 JUN 2008  
L28 2 S L27  
L29 1 S L28 NOT L25

FILE 'REGISTRY' ENTERED AT 17:03:24 ON 27 JUN 2008  
L30 STRUCTURE uploaded  
L31 49 S L30 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:03:51 ON 27 JUN 2008  
L32 14 S L31  
L33 12 S L32 NOT L28

FILE 'STNGUIDE' ENTERED AT 17:11:52 ON 27 JUN 2008